10/569,303

STN- Structure Search 10/4/06

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:259887 CAPLUS
DOCUMENT NUMBER: 142:336518
TITLE: Preparation of 17β-heterocyclic-3-oxo-4-aza-5α-androst-1-ene derivatives as androgen receptor modulators
INVENTOR(S): Meissner, Robert S.; Mitchell, Helen J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005025579	A1	20050324	WO 2004-US28641	20040902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272004	A1	20050324	AU 2004-272004	20040902
CA 2537663	AA	20050324	CA 2004-2537663	20040902
EP 1670483	A1	20060621	EP 2004-783022	20040902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-501664P P 20030910
WO 2004-US28641 W 20040902

OTHER SOURCE(S): MARPAT 142:336518
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention discloses preparation of 17β-heterocyclic-3-oxo-4-aza-5α-androst-1-ene derivs., such as I [dashed bond = single bond, double bond; X = H, halo; Y, Z = H, alkyl, halo; Y and Z, together with the carbon atom to which they are attached = cyclopropyl; n = 0-3; U, V, W, D = CH, N, provided that at least U, V, W, and D = CH; R1 = H, CF3, carbonyl(alkyl), OH, alkoxy, halo, alkyl, CH2OH, alkylamino; R2 = halo, carbonyl(alkyl), carbonyl(alkenyl), carbonyl(alkynyl), alkenylamino, heterocyclic, etc.], for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-azaandrost-1-ene derivative II was reacted with 2,3-diaminopyridine in presence of silver triflate to give 17β-carboxamide derivative III, which, on heating with polyphosphoric acid, afforded 17β-imidazopyridinyl-3-oxo-4-aza-5α-androst-1-ene derivative IV. I are therefore useful in the enhancement of weakened muscle tone and the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery,

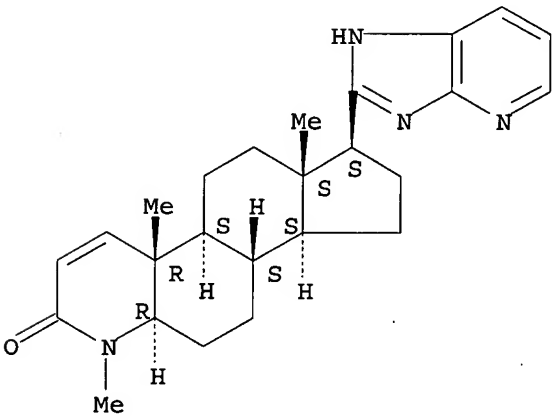
sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, benign prostatic hyperplasia (BPH), abdominal adiposity, metabolic syndrome, type II diabetes, cancer cachexia, Alzheimer's disease, muscular dystrophies, cognitive decline, sexual dysfunction, sleep apnea, depression, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

IT 848392-90-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 17β-heterocyclic-3-oxo-4-aza-5α-androst-1-ene derivs. as androgen receptor modulators and their therapeutic uses)

RN 848392-90-5 CAPLUS

CN 2H-Indeno[5,4-f]quinolin-2-one, 1,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-7-(1H-imidazo[4,5-b]pyridin-2-yl)-1,4a,6a-trimethyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

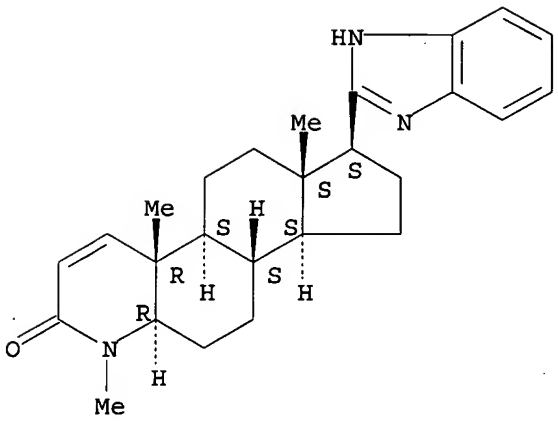


IT 848392-91-6P 848393-00-0P 848393-01-1P
848393-02-2P 848393-04-4P 848393-05-5P
848393-06-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 17β-heterocyclic-3-oxo-4-aza-5α-androst-1-ene derivs. as androgen receptor modulators and their therapeutic uses)

RN 848392-91-6 CAPLUS

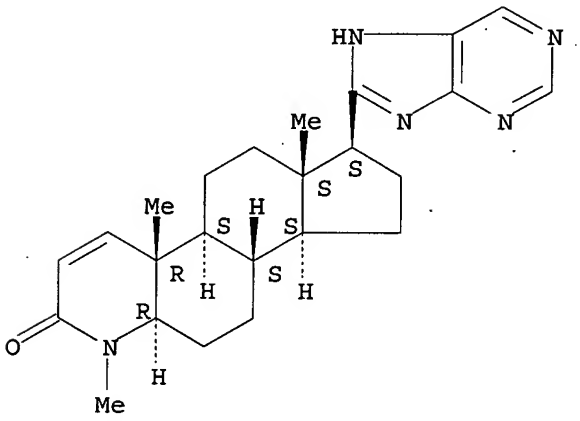
CN 2H-Indeno[5,4-f]quinolin-2-one, 7-(1H-benzimidazol-2-yl)-1,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



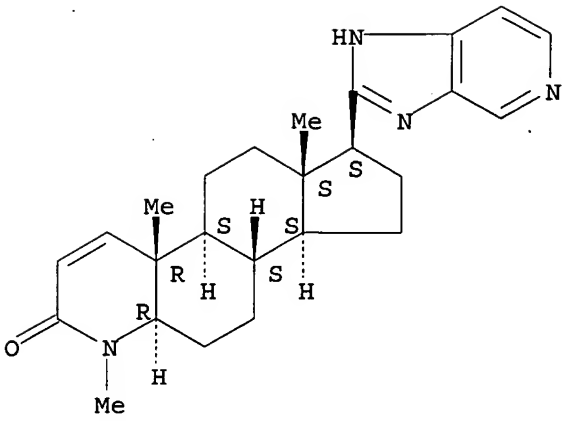
RN 848393-00-0 CAPLUS
CN 2H-Indeno[5,4-f]quinolin-2-one, 1,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-7-(1H-purin-8-yl)-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



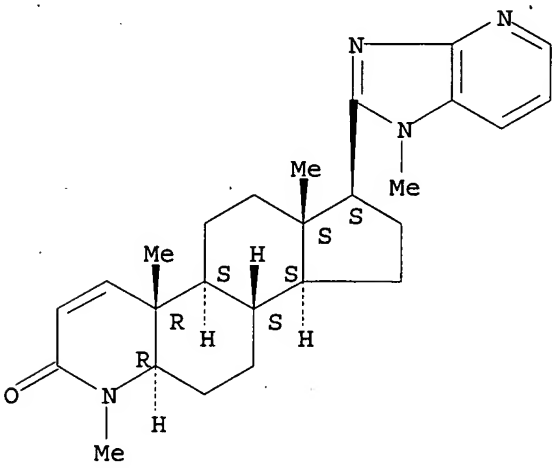
RN 848393-01-1 CAPLUS
CN 2H-Indeno[5,4-f]quinolin-2-one, 1,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-7-(1H-imidazo[4,5-c]pyridin-2-yl)-1,4a,6a-trimethyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



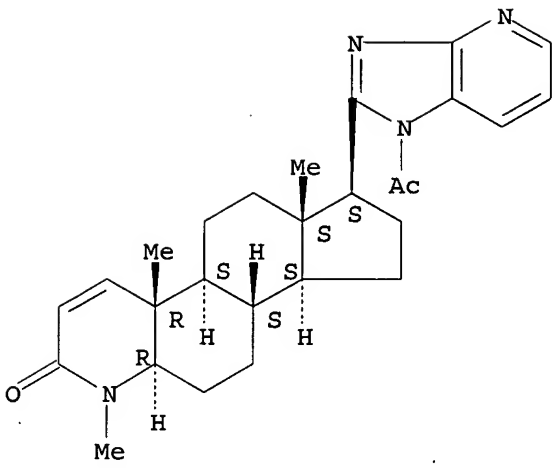
RN 848393-02-2 CAPLUS
CN 2H-Indeno[5,4-f]quinolin-2-one, 1,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-7-(1-methyl-1H-imidazo[4,5-b]pyridin-2-yl)-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



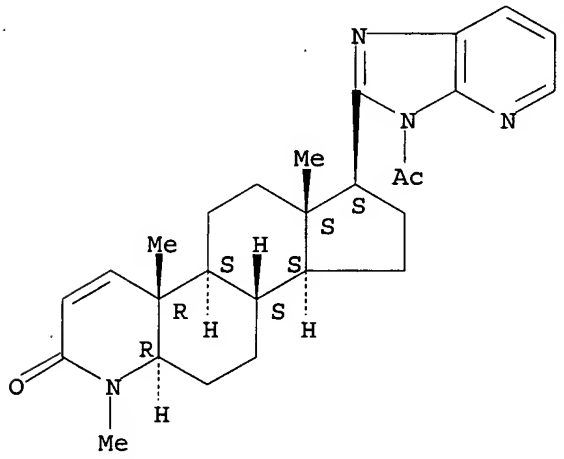
RN 848393-04-4 CAPLUS
CN 1H-Imidazo[4,5-b]pyridine, 1-acetyl-2-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



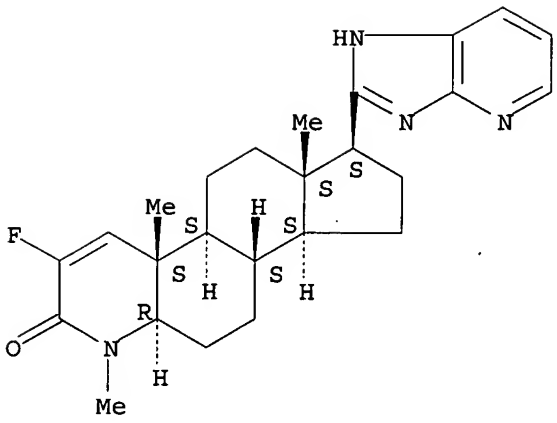
RN 848393-05-5 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-acetyl-2-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 848393-06-6 CAPLUS
CN 2H-Indeno[5,4-f]quinolin-2-one, 3-fluoro-1,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-7-(1H-imidazo[4,5-b]pyridin-2-yl)-1,4a,6a-trimethyl-, (4aS,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d re 1-3

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
RE
(1) Adams; US 5525608 A 1996 CAPLUS
(2) Graham; US 5510351 A 1996 CAPLUS
(3) Panzeri; US 6121449 A 2000 CAPLUS

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(FILE 'HOME' ENTERED AT 09:51:06 ON 04 OCT 2006)

FILE 'REGISTRY' ENTERED AT 09:51:22 ON 04 OCT 2006
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 8 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:51:57 ON 04 OCT 2006
L4 1 S L3

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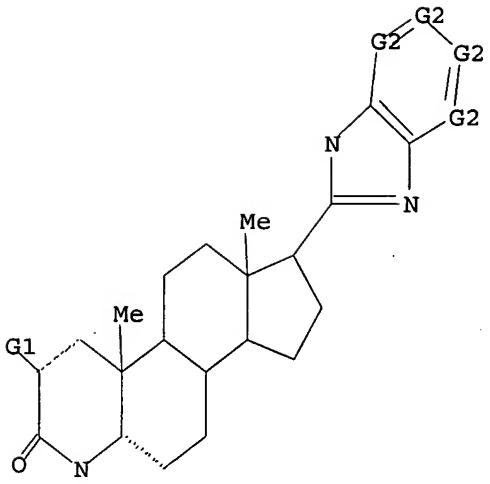
L1 HAS NO ANSWERS
L1 STR



G1 H,X

G2 N,CH

Structure attributes must be viewed using STN Express query preparation.

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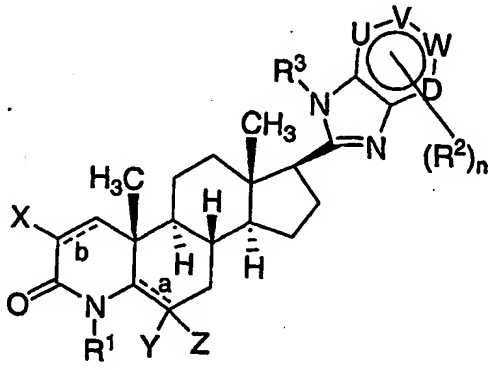
Abstract: The present invention relates to compounds that are useful as androgen receptor agonists, in particular, as selective androgen receptor agonists. Compounds of the present invention are described by structural formula I:



I

or a pharmaceutically acceptable salt or stereoisomer thereof, their uses and pharmaceutical compostions.